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NEWS 3	NOV 26	MARPAT enhanced with FSORT command
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NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
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NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
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NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
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NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
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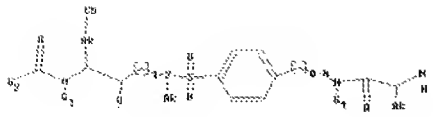

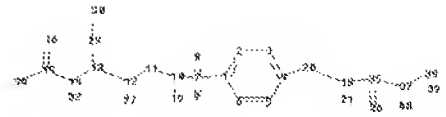

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G1:H,Ak

G2:O,Cb,Cy,Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS
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L1 STRUCTURE UPLOADED

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FILE LAST UPDATED: 17 Mar 2009 (20090317/ED)

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100.0% PROCESSED 3361 ITERATIONS 14 ANSWERS
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L2 14 SEA SSS FUL L1

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YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460409 CAPLUS Full-text
DOCUMENT NUMBER: 131:87805

TITLE: Preparation of amprenavir prodrugs as HIV protease inhibitors

INVENTOR(S): Tung, Roger D.; Hale, Michael R.; Baker, Christopher T.; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Wieczyslaw; Spaltenstein, Andrew

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OTHER SOURCE(S): MARPAT 131:87805

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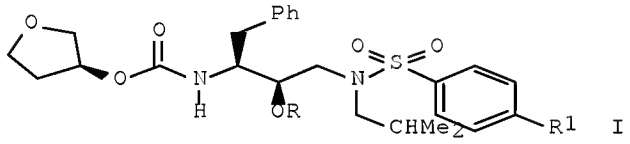

I

AB ABNGxCHDCH(OR7)CH2ND'SO2E [A = H, alkyl(carbonyl), aryl(carbonyl), etc.; B = bond or (un)substituted NHCH2CO; D,D' = (cyclo)alk(en)yl, heterocyclyl, etc.; E = (cyclo)alkyl(oxy), heterocyclyl(oxy), etc.; G = H, R7, alkyl, etc.; R7 = acyl(oxymethyl); x = 0 or 1] were prepared Thus, analog I (R = H, R1 = NO2) was converted in 4 steps to I [R = P(O)(ONa)2, R1 = NH2](II). Data for bioavailability of II were given.

IT 229495-63-0P 229495-64-1P 229495-78-7P
229495-79-8P 229495-80-1P 229495-81-2P
229495-82-3P 229495-83-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229495-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

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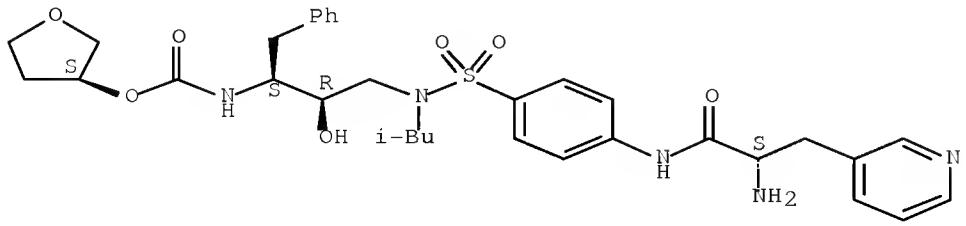

RN 229495-64-1 CAPLUS
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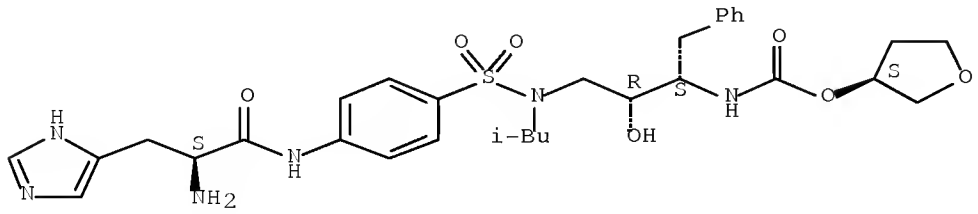
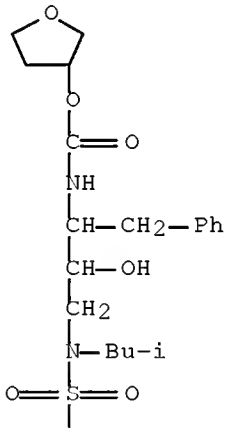
Absolute stereochemistry.



RN 229495-78-7 CAPLUS
CN Carbamic acid, [3-[[[4-[[5-amino-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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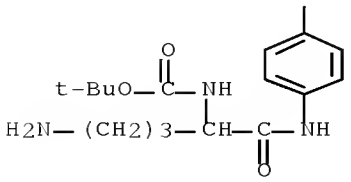

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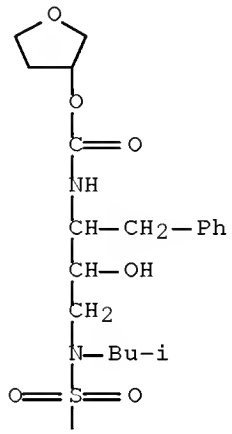

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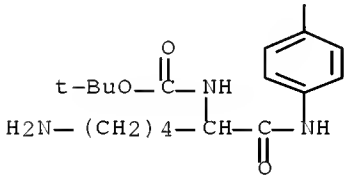

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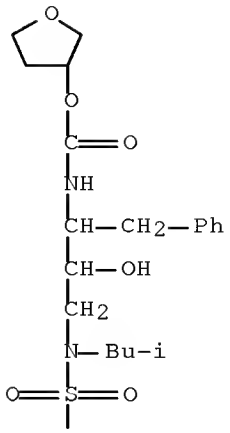

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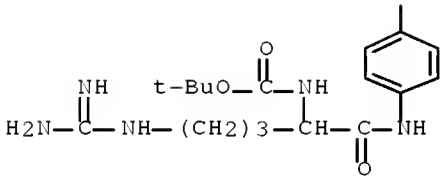

RN 229495-81-2 CAPLUS

CN Carbamic acid, [3-[[[4-[[2-(acetylamino)-5-amino-1-oxopentyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

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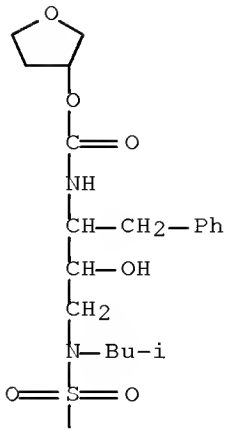

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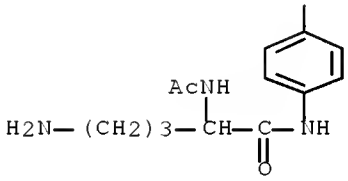

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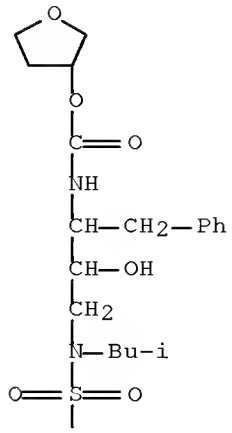

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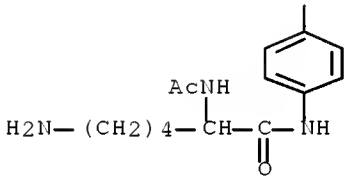

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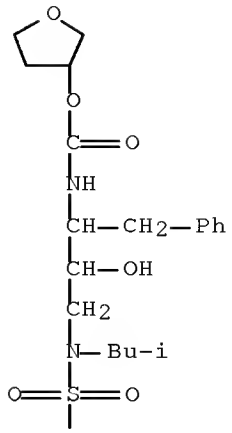

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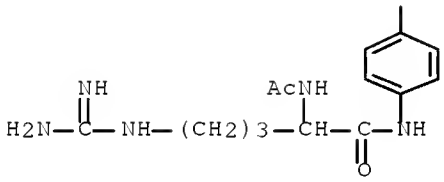

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229496-00-8 CAPLUS

CN Carbamic acid, [4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

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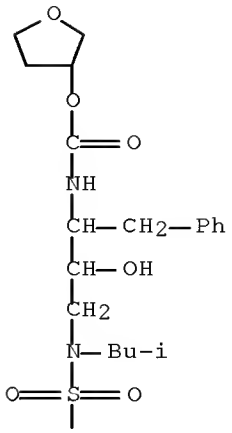

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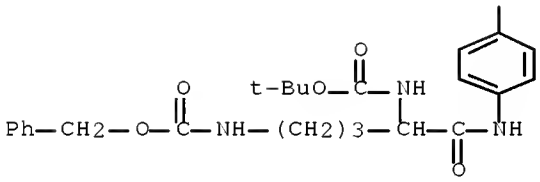

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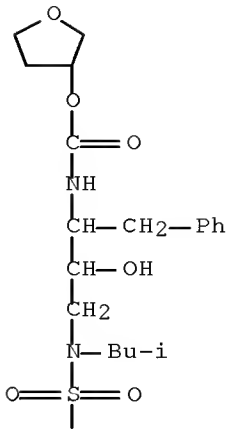

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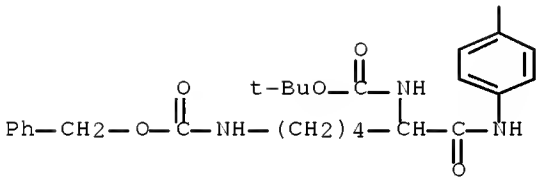
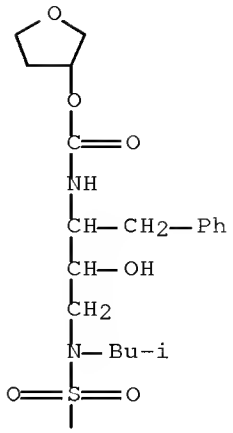


RN 229496-02-0 CAPLUS

CN 11-Oxa-2,7,9-triazadodecanoic acid, 3-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-8-imino-10-oxo-12-phenyl-7-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A



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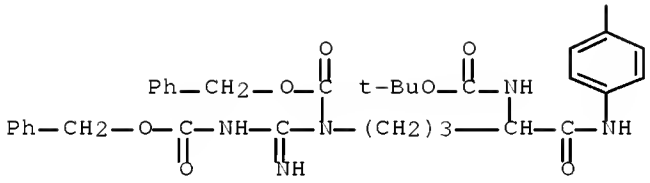
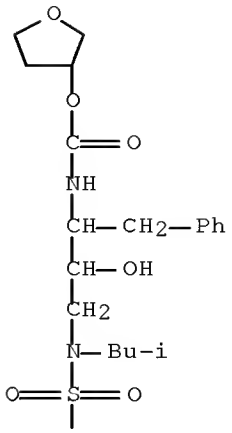


RN 229496-03-1 CAPLUS

CN Carbamic acid, [4-(acetylamino)-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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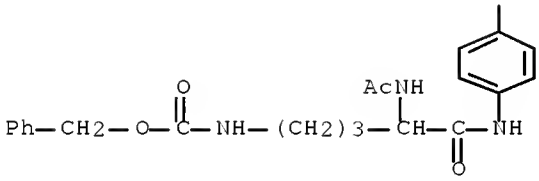
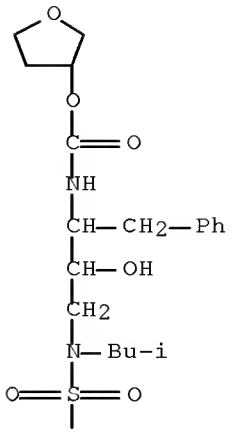


RN 229496-04-2 CAPLUS

CN Carbamic acid, [5-(acetylamino)-6-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-6-oxohexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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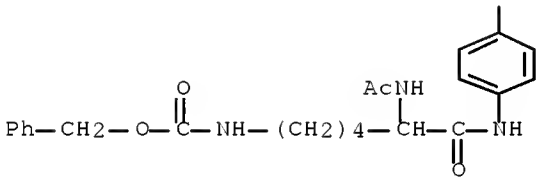
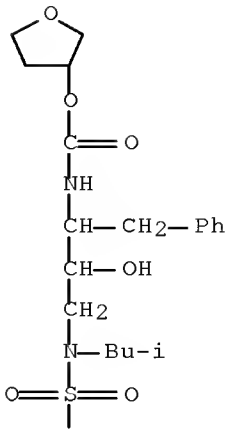


RN 229496-05-3 CAPLUS
CN 2-Oxa-4,6,11-triazatridecanoic acid, 10-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-5-imino-3,12-dioxo-1-phenyl-, phenylmethyl ester (CA INDEX NAME)

PAGE 1-A



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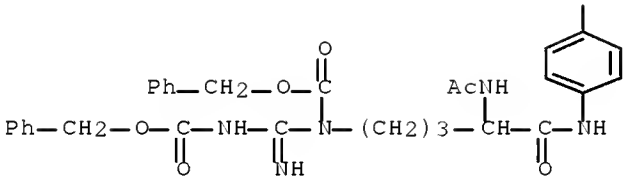

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:460393 CAPLUS Full-text

DOCUMENT NUMBER: 131:87804

TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.

INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933793	A2	19990708	WO 1998-US27424	19981223
WO 9933793	A3	19990910		

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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AU 9920925	A	19990719	AU 1999-20925	19981223
BR 9814484	A	20001010	BR 1998-14484	19981223
EP 1042280	A2	20001011	EP 1998-965466	19981223
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EE 200000386	A	20011217	EE 2000-386	19981223
JP 2001527062	T	20011225	JP 2000-526477	19981223
HU 2001001598	A2	20020429	HU 2001-1598	19981223
HU 2001001598	A3	20020828		
CN 1110492	C	20030604	CN 1998-813313	19981223
MX 2000006316	A	20010219	MX 2000-6316	20000623
NO 2000003332	A	20000818	NO 2000-3332	20000626
IN 2000KN00131	A	20050311	IN 2000-KN131	20000713
HR 2000000499	A1	20010430	HR 2000-499	20000724
US 20020082249	A1	20020627	US 2001-998617	20011130
US 20030144217	A1	20030731	US 2002-226430	20020821

PRIORITY APPLN. INFO.:

US 1997-68889P	P	19971224
WO 1998-US27424	W	19981223
US 2000-602984	A1	20000623
US 2001-998617	B1	20011130

OTHER SOURCE(S): MARPAT 131:87804

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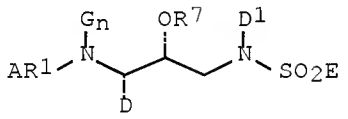

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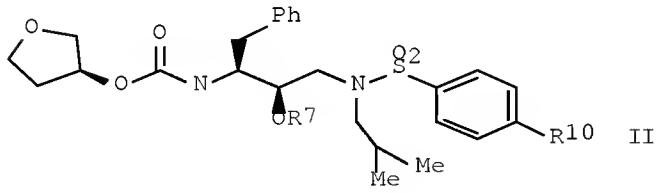


II

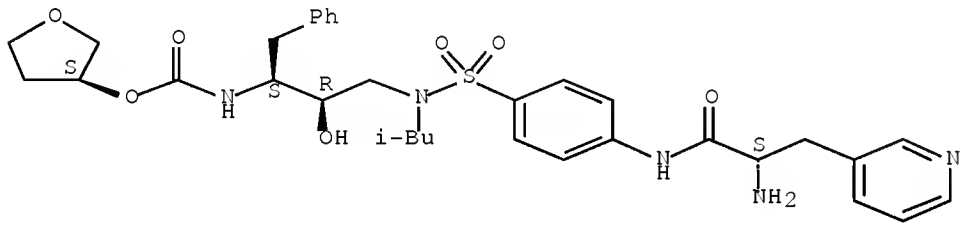
AB Title compds. [I; R1 = CO, SO2, COCO, O2C, OSO2, NR2SO2, etc.; A = (benzo- or heterocyclo-fused) 5-7 membered heterocyclyl(alkyl); D, D1 = Q, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl; G = H, R7, alkyl; E = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl, carbocyclyl, etc.; GR7 = atoms to form a heterocyclic ring; Q = (substituted) (unsatd.) 3-7 membered carbocyclyl, 5-7 membered heterocyclyl; R2 = H, (Q-substituted) alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R7 = (CH2O)nY(ZM)(:X)ZMn, (CH2O)nCO(R9)nM1; M = H, Li, Na, K, Mg, Ca, Ba, ammonio, alkyl, alkenyl, etc.; M1 = H,

(substituted) alkyl, alkenyl, etc.; R9 = C(R2)2, O, NR2; Y = P, S; X = O, S; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, 5-7 membered heterocyclyl; n = 0, 1; with provisos], were prepared Thus, title compound (II; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% II (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% II (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give II (R7 = OP(O)(ONa)2; R10 = NH2) (III). III in a methylcellulose/EtOH/H2O formulation administered orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

IT 229495-63-0P 229495-64-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

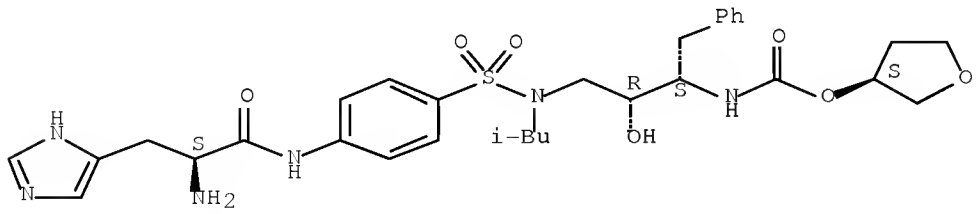
RN 229495-63-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229495-64-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460392 CAPLUS Full-text
DOCUMENT NUMBER: 131:87803

TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.

INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933792	A2	19990708	WO 1998-US27403	19981223
WO 9933792	A3	19990916		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9920102	A	19990719	AU 1999-20102	19981223

PRIORITY APPLN. INFO.: US 1997-68806P P 19971224
WO 1998-US27403 W 19981223

OTHER SOURCE(S): MARPAT 131:87803

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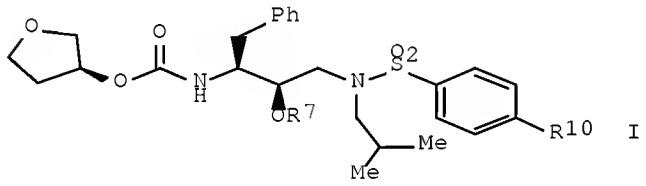

I

AB Z(CHD)pC(:G)(CXX1)mC(G1)N(D1)SO2E1 [Z = N(D)SO2E, NHA, NDA, NHE, NHCONDE, NH(Ht), Ht, ND(Ht); A = H, Ht, R1Ht, (substituted) R1Alk; Alk = alkyl, alkenyl; Ht = (substituted) cycloalkyl, cycloalkenyl, aryl, benzoheterocyclyl, heterocyclyl; D, D1 = R6, N(R2)2, (substituted) alkyl, alkenyl, cycloalkyl, etc.; E, E1 = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl; R1 = CO, SO2, COCO, O2C, OSO2, NR2CO, etc.; R2 = H, R6, R6-substituted alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R6 = (substituted) aryl, carbocyclyl, heterocyclyl; G, G1 = H2, O; X, X1 = H, OH, NH2, SH, etc.; XX1 = O; m = 1-3; p = 0, 1], were prepared Thus, title compound (I; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% I (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% I (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give I (R7 = OP(O)(ONa)2; R10 = NH2) (II). II in a methylcellulose/EtOH/H2O formulation administered

orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

IT 229495-63-0P 229495-64-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

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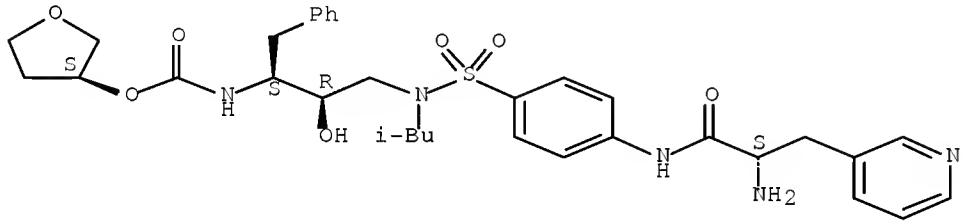
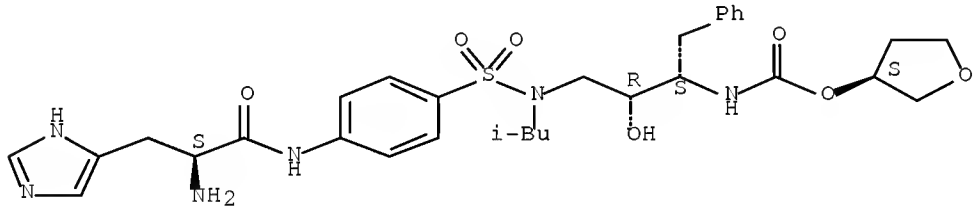
Absolute stereochemistry.



RN 229495-64-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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